09/734008

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| | | |
|---|---|---|
| NEWS 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS 2 | Apr 08 | "Ask CAS" for self-help around the clock |
| NEWS 3 | Apr 09 | BEILSTEIN: Reload and Implementation of a New Subject Area |
| NEWS 4 | Apr 09 | ZDB will be removed from STN |
| NEWS 5 | Apr 19 | US Patent Applications available in IFICDB, IFIPAT, and IFIUDB |
| NEWS 6 | Apr 22 | Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS |
| NEWS 7 | Apr 22 | BIOSIS Gene Names now available in TOXCENTER |
| NEWS 8 | Apr 22 | Federal Research in Progress (FEDRIP) now available |
| NEWS 9 | Jun 03 | New e-mail delivery for search results now available |
| NEWS 10 | Jun 10 | MEDLINE Reload |
| NEWS 11 | Jun 10 | PCTFULL has been reloaded |
| NEWS 12 | Jul 02 | FOREGE no longer contains STANDARDS file segment |
| NEWS 13 | Jul 22 | USAN to be reloaded July 28, 2002; saved answer sets no longer valid |
| NEWS 14 | Jul 29 | Enhanced polymer searching in REGISTRY |
| NEWS 15 | Jul 30 | NETFIRST to be removed from STN |
| NEWS 16 | Aug 08 | CANCERLIT reload |
| NEWS 17 | Aug 08 | PHARMAMarketLetter(PHARMAML) - new on STN |
| NEWS 18 | Aug 08 | NTIS has been reloaded and enhanced |
| NEWS 19 | Aug 19 | Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN |
| NEWS 20 | Aug 19 | IFIPAT, IFICDB, and IFIUDB have been reloaded |
| NEWS 21 | Aug 19 | The MEDLINE file segment of TOXCENTER has been reloaded |
| NEWS 22 | Aug 26 | Sequence searching in REGISTRY enhanced |
| NEWS 23 | Sep 03 | JAPIO has been reloaded and enhanced |
| NEWS 24 | Sep 16 | Experimental properties added to the REGISTRY file |
| NEWS 25 | Sep 16 | Indexing added to some pre-1967 records in CA/CAPLUS |
| NEWS 26 | Sep 16 | CA Section Thesaurus available in CAPLUS and CA |
| NEWS 27 | Oct 01 | CASREACT Enriched with Reactions from 1907 to 1985 |

| | |
|---|---|
| NEWS EXPRESS | October 14 CURRENT WINDOWS VERSION IS V6.01, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002 |
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | CAS World Wide Web Site (general information) |

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:23:43 ON 17 OCT 2002

=> file reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 08:23:57 ON 17 OCT 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 OCT 2002 HIGHEST RN 462058-01-1
DICTIONARY FILE UPDATES: 16 OCT 2002 HIGHEST RN 462058-01-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09734008.str

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 08:24:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 25630 TO ITERATE

3.9% PROCESSED 1000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 503051 TO 522149
PROJECTED ANSWERS: 209 TO 815

L2 1 SEA SSS SAM L1

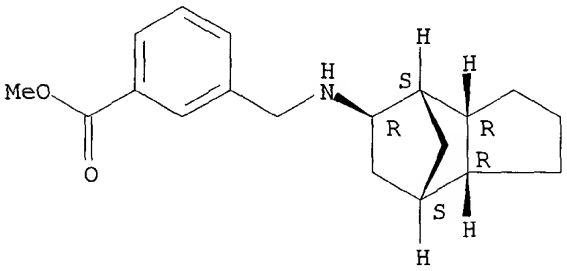
=> d l2 all

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
RN 344576-27-8 REGISTRY
CN Benzoic acid, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]amino]methyl]-, methyl ester, hydrochloride, rel- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H25 N O2 . Cl H
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Ring System Data

| Elemental Analysis EA | Elemental Sequence ES | Size of the Rings SZ | Ring System Formula RF | Ring Identifier RID | RID Occurrence Count |
|---|---|---|---|---|---|
| C6 | C6 | 6 | C6 | 46.150.18 | 1 |
| C5-C5-C5 | C5-C5-C5 | 5-5-5 | C10 | 553.3.1 | 1 |

Relative stereochemistry.




● HCl

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1

AN 135:46327 CA
TI Method for the production of substituted norbornylamino derivatives, medicaments containing said compounds and the use thereof as a medicament or a diagnostic reagent

IN Heinelt, Uwe; Lang, Hans-Jochen; Kleemann, Heinz-Werner; Schwark, Jan-Robert; Wirth, Klaus; Jansen, Hans-Willi
PA Aventis Pharma Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA German
IC ICM C07C211-38
ICS C07C217-56; A61K031-137
CC 30-10 (Terpenes and Terpenoids)
Section cross-reference(s): 1
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001044164 | A1 | 20010621 | WO 2000-EP12107 | 20001201 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | DE 19960204 | A1 | 20010628 | DE 1999-19960204 | 19991214 |
| | US 2001023257 | A1 | 20010920 | US 2000-734008 | 20001212 |
| | NO 2002002801 | A | 20020809 | NO 2002-2801 | 20020612 |
| PRAI | DE 1999-19960204 | 19991214 | | | |
| | WO 2000-EP12107 | 20001201 | | | |

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to substituted norbornylamino derivs. contg. exo-configured nitrogen and an endo-annelated pentacyclic ring and exo-configured nitrogen and an exo-annelated pentacyclic ring, e.g. I [R1, R2, R3, R4, R5 = H, OH, F, Cl, Br, I, CN, NO2, amidino, CO2R11, CONR11R12, SOrR11, SOsNR11R12, C1-4-alkyl, C1-4-alkoxy, C1-4-alkoxyalkyl, C1-4-alkoxyalkoxy, hydroxy-C1-4-alkyl, C3-7-cycloalkoxy, (un)substituted OPh (up to three of F, Cl, Br, OMe), NH2, C1-4-alkylamino, di(C1-4-alkyl)amino, amino-C1-4-alkyl, di(C1-4-alkyl)amino-C1-4-alkyl, C1-4-alkylamino-C1-4-alkyl; R1R2, R2R3, R3R4, R4R5 = O(CH2)nO; R11, R12 = H, ; A = C1-4-alkylene; B = (un)substituted C5-7-ring with :O, OH, C1-4-alkoxy, C1-4-alkyl; S1 = free electron pair, C1-4-alkyl; S2 = C1-4-alkyl, H; when S1 and S2 are both alkyl, the ammonium counter ion, X- = pharmaceutically acceptable salt or trifluoroacetate; n = 1, 2; r = 0 - 2; s = 1, 2] and II. Thus, I.cntdot.HCl [R1 = R3 - R5 = S2 = H, R2 = OMe, A = B = CH2, S1 = free electron] was prepd. from exo,endo-octahydro-4,7-methanoinden-5-ylamine (III) via condensation of 3-MeOC6H4CHO in PhMe

contg. catalytic p-TsOH followed by redn. with NaBH4 in MeOH and acidification with HCl in MeOH. Said derivs. are esp. suitable as anti-hypertensive agents for reducing or preventing ischemia-induced damage, as medicaments for use in surgical procedures for treating ischemias of the nervous system, of a cerebrovascular accident and of a cerebral edema. The derivs. are also suitable for treating shock, an impaired respiratory impulse, snoring, or for use as a laxative, as an agent against ectoparasites, in the prophylaxis of gall stones, as an anti-atherosclerotic agent, as an agent for treating late complications of diabetes, or for treating cancerous illnesses, fibrotic disorders, endothelial dysfunction and organ hypertrophies and hyperplasias. Said derivs. act as inhibitors of the cellular sodium-proton-antiporter. They also influence serum lipoproteins and can thus be used in the prophylaxis and reversal of atherosclerotic changes. Thus, I.cntdot.HCl [R1 = R3 - R5 = S2 = H, R2 = OMe, A = B = CH2, S1 = free electron] was tested for its diuretic (none orally in rats) and NHE3 activity (IC50 = 0.81 .mu.M).

ST pentacyclic annelated norbornylamine deriv prepn biol activity; methanoindenylamine octahydro deriv prepn condensation benzaldehyde methoxybenzaldehyde; diuretic pentacyclic annelated norbornylamine deriv prepn; NHE3 activity diuretic pentacyclic annelated norbornylamine deriv; sodium proton antiporter inhibitor pentacyclic annelated norbornylamine deriv prepn; serum lipoprotein regulator pentacyclic annelated norbornylamine deriv prepn; atherosclerotic change medicament pentacyclic annelated norbornylamine deriv prepn; antihypertensive pentacyclic annelated norbornylamine deriv prepn

IT Structure-activity relationship
(diuretic; prepn. of substituted norbornylamino derivs. for use as a antihypertensive agent or a diagnostic reagent)

IT Transport proteins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(hydrogen ion-sodium-exchanging, cellular antiporter inhibitor; prepn. of substituted norbornylamino derivs. for use as a antihypertensive agent or a diagnostic reagent)

IT Antihypertensives
Diuretics
(prepn. of substituted norbornylamino derivs. for use as a antihypertensive agent or a diagnostic reagent)

IT Atherosclerosis
(prophylaxis and reversal medicaments; prepn. of substituted norbornylamino derivs. for use as a antihypertensive agent or a diagnostic reagent)

IT Lipoproteins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(serum, regulators; prepn. of substituted norbornylamino derivs. for use as a antihypertensive agent or a diagnostic reagent)

IT 344576-13-2P 344576-14-3P 344576-96-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted norbornylamino derivs. for use as a

antihypertensive agent or a diagnostic reagent)
IT 344577-00-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
(prepn. of substituted norbornylamino derivs. for use as a
antihypertensive agent or a diagnostic reagent)
IT 344576-09-6P 344576-10-9P 344576-11-0P 344576-12-1P 344576-16-5P
344576-17-6P 344576-18-7P 344576-19-8P 344576-20-1P 344576-21-2P
344576-22-3P 344576-23-4P 344576-24-5P 344576-25-6P 344576-26-7P
344576-27-8P 344576-28-9P 344576-30-3P 344576-32-5P 344576-34-7P
344576-35-8P 344576-37-0P 344576-38-1P 344576-40-5P 344576-41-6P
344576-42-7P 344576-43-8P 344576-44-9P 344576-45-0P 344576-46-1P
344576-47-2P 344576-48-3P 344576-49-4P 344576-50-7P 344576-51-8P
344576-52-9P 344576-53-0P 344576-54-1P 344576-56-3P 344576-57-4P
344576-59-6P 344576-60-9P 344576-62-1P 344576-63-2P 344576-64-3P
344576-65-4P 344576-66-5P 344576-67-6P 344576-68-7P 344576-69-8P
344576-70-1P 344576-71-2P 344576-72-3P 344576-73-4P 344576-74-5P
344576-75-6P 344576-76-7P 344576-77-8P 344576-78-9P 344576-80-3P
344576-82-5P 344576-83-6P 344576-84-7P 344576-85-8P 344576-86-9P
344576-87-0P 344576-88-1P 344576-94-9P 344576-95-0P 344577-06-6P
344577-07-7P 344577-08-8P 344577-09-9P 344577-10-2P 344577-11-3P
344577-12-4P 344577-13-5P 344577-14-6P 344577-15-7P 344577-16-8P
344577-17-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted norbornylamino derivs. for use as a
antihypertensive agent or a diagnostic reagent)
IT 77-73-6, Dicyclopentadiene 85-41-6, Phthalimide 99-61-6,
3-Nitrobenzaldehyde 99-64-9, 3-(Dimethylamino)benzoic acid 100-83-4,
3-Hydroxybenzaldehyde 395-44-8, 2-(Trifluoromethyl)benzyl bromide
456-48-4, 3-Fluorobenzaldehyde 586-37-8 587-04-2, 3-Chlorobenzaldehyde
591-31-1, 3-Methoxybenzaldehyde 625-95-6, 3-Iodotoluene 1798-09-0,
3-Methoxyphenylacetic acid 3132-99-8, 3-Bromobenzaldehyde 4453-90-1,
Benzonorbornadiene 6482-24-2, 1-Bromo-2-methoxyethane 10516-71-9,
3-(3-Methoxyphenyl)propionic acid 13380-94-4,
Tricyclo[5.2.1.02,6]decan-8-one 24964-64-5, 3-Cyanobenzaldehyde
32085-88-4, 3,5-Difluorobenzaldehyde 72403-63-5,
exo-5-Isothiocyanato-5,6-dihydro-endo-dicyclopentadiene 344577-05-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of substituted norbornylamino derivs. for use as a
antihypertensive agent or a diagnostic reagent)
IT 7142-70-3P 18530-42-2P 18530-46-6P, exo,endo-Octahydro-4,7-
methanoinden-5-ylamine 18530-47-7P, exo,exo-Octahydro-4,7-methanoinden-5-
ylamine 49617-83-6P 62624-26-4P, exo-(1,2,3,4-Tetrahydro-1,4-
methanonaphthalin-2-yl)amine 65839-06-7P 73244-50-5P 73335-94-1P,
endo,exo-Octahydro-4,7-methanoinden-5-ylamine 114062-14-5DP, isomers
344576-89-2P 344576-90-5P 344576-92-7P 344576-93-8P 344576-97-2P
344576-99-4P 344577-01-1P 344577-02-2P 344577-03-3P 344577-04-4P
344577-19-1P 344920-95-2P 344920-96-3P 344920-97-4P 344920-98-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted norbornylamino derivs. for use as a antihypertensive agent or a diagnostic reagent)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
(1) Druckrey, E; US 4024274 A 1977 CAPLUS
(2) Hoechst Ag; EP 0825178 A 1998 CAPLUS
(3) Magainin Pharma; WO 9640151 A 1996 CAPLUS

=> s l1 full
FULL SEARCH INITIATED 08:25:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 516633 TO ITERATE

77.4% PROCESSED 400000 ITERATIONS 112 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.05

| | | |
|---|---|---|
| FULL FILE PROJECTIONS: | ONLINE | **INCOMPLETE** |
| | BATCH | **COMPLETE** |
| PROJECTED ITERATIONS: | 516633 TO | 516633 |
| PROJECTED ANSWERS: | 112 TO | 180 |

L3 112 SEA SSS FUL L1

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 145.41 | 145.62 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -0.59 | -0.59 |

FILE 'CAPLUS' ENTERED AT 08:25:49 ON 17 OCT 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 17 Oct 2002 VOL 137 ISS 16
FILE LAST UPDATED: 16 Oct 2002 (20021016/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l3
L4 11 L3

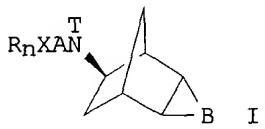
=> d l4 ibib abs hitstr 1-11

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:503263 CAPLUS
DOCUMENT NUMBER: 137:78858
TITLE: Preparation of methanoindenylaminomethylheterocycles and related compounds as inhibitors of the sodium-proton exchanger.
INVENTOR(S): Heinelt, Uwe; Lang, Hans-Jochen; Wirth, Klaus; Jansen, Hans-Willi
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 10063294 | A1 | 20020704 | DE 2000-10063294 | 20001219 |
| WO 2002066431 | A1 | 20020829 | WO 2001-EP14422 | 20011207 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2000-10063294 A 20001219
OTHER SOURCE(S): CASREACT 137:78858; MARPAT 137:78858
GI



I

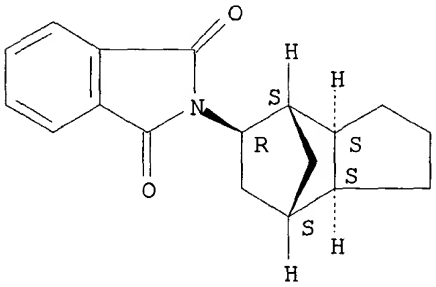
AB Title compds. [I; A = alkylene; T = H, alkyl; B = (substituted) (unsatd.) exo- or endo 5-6 membered ring; X = (unsatd.) 5-6 membered heterocyclyl; n

= 0-4; with a proviso], were prepd. Thus, endo/exo-octahydro-4,7-methanoinden-5-ylamine (prepn. given), pyridine-3-carboxaldehyde, and p-toluenesulfonic acid were refluxed in PhMe through a water separator. The residue in MeOH was treated with NaBH4 followed by acidification with HCl to give endo/exo-(octahydro-4,7-methanoinden-5-yl)pyridin-3-ylmethylamine hydrochloride. The latter showed rat Na+/H+ exchanger 3 (NHE3) inhibitory activity with IC50 = 0.34 .mu.M.

IT **344576-93-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of methanoindenylaminomethylheterocycles and related compds. as
inhibitors of the sodium-proton exchanger)

RN 344576-93-8 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione,
2-[(3aR,4R,5S,7R,7aR)-octahydro-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

applicants

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:452994 CAPLUS
DOCUMENT NUMBER: 135:46327
TITLE: Method for the production of substituted norbornylamino derivatives, medicaments containing said compounds and the use thereof as a medicament or a diagnostic reagent
INVENTOR(S): Heinelt, Uwe; Lang, Hans-Jochen; Kleemann, Heinz-Werner; Schwark, Jan-Robert; Wirth, Klaus; Jansen, Hans-Willi
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

WO 2001044164 A1 20010621 WO 2000-EP12107 20001201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
DE 19960204 A1 20010628 DE 1999-19960204 19991214
US 2001023257 A1 20010920 US 2000-734008 20001212
NO 2002002801 A 20020809 NO 2002-2801 20020612
PRIORITY APPLN. INFO.: DE 1999-19960204 A 19991214
WO 2000-EP12107 W 20001201
OTHER SOURCE(S): MARPAT 135:46327
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

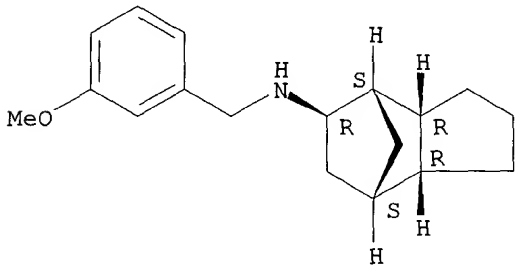
AB The invention relates to substituted norbornylamino derivs. contg. exo-configured nitrogen and an endo-annelated pentacyclic ring and exo-configured nitrogen and an exo-annelated pentacyclic ring, e.g. I [R1, R2, R3, R4, R5 = H, OH, F, Cl, Br, I, CN, NO2, amidino, CO2R11, CONR11R12, SOrR11, SOsNR11R12, C1-4-alkyl, C1-4-alkoxy, C1-4-alkoxyalkyl, C1-4-alkoxyalkoxy, hydroxy-C1-4-alkyl, C3-7-cycloalkoxy, (un)substituted OPh (up to three of F, Cl, Br, OMe), NH2, C1-4-alkylamino, di(C1-4-alkyl)amino, amino-C1-4-alkyl, di(C1-4-alkyl)amino-C1-4-alkyl, C1-4-alkylamino-C1-4-alkyl; R1R2, R2R3, R3R4, R4R5 = O(CH2)nO; R11, R12 = H, ; A = C1-4-alkylene; B = (un)substituted C5-7-ring with :O, OH, C1-4-alkoxy, C1-4-alkyl; S1 = free electron pair, C1-4-alkyl; S2 = C1-4-alkyl, H; when S1 and S2 are both alkyl, the ammonium counter ion, X- = pharmaceutically acceptable salt or trifluoroacetate; n = 1, 2; r = 0 - 2; s = 1, 2] and II. Thus, I.cntdot.HCl [R1 = R3 - R5 = S2 = H, R2 = OMe, A = B = CH2, S1 = free electron] was prepd. from exo,endo-octahydro-4,7-methanoinden-5-ylamine (III) via condensation of 3-MeOC6H4CHO in PhMe contg. catalytic p-TsOH followed by redn. with NaBH4 in MeOH and acidification with HCl in MeOH. Said derivs. are esp. suitable as anti-hypertensive agents for reducing or preventing ischemia-induced damage, as medicaments for use in surgical procedures for treating ischemias of the nervous system, of a cerebrovascular accident and of a cerebral edema. The derivs. are also suitable for treating shock, an impaired respiratory impulse, snoring, or for use as a laxative, as an agent against ectoparasites, in the prophylaxis of gall stones, as an anti-atherosclerotic agent, as an agent for treating late complications of diabetes, or for treating cancerous illnesses, fibrotic disorders, endothelial dysfunction and organ hypertrophies and hyperplasias. Said derivs. act as inhibitors of the cellular sodium-proton-antiporter. They

also influence serum lipoproteins and can thus be used in the prophylaxis and reversal of atherosclerotic changes. Thus, I.cntdot.HCl [R1 = R3 - R5 = S2 = H, R2 = OMe, A = B = CH2, S1 = free electron] was tested for its diuretic (none orally in rats) and NHE3 activity (IC50 = 0.81 .mu.M).

IT **344576-13-2P 344576-14-3P 344576-96-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted norbornylamino derivs. for use as a antihypertensive agent or a diagnostic reagent)

RN 344576-13-2 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

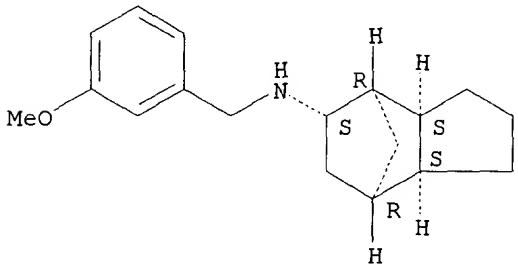
Relative stereochemistry.




● HCl

RN 344576-14-3 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-, hydrochloride, (3aS,4R,5S,7R,7aS)- (9CI) (CA INDEX NAME)

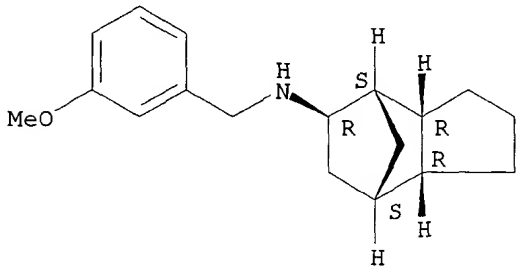
Absolute stereochemistry. Rotation (+).




HCl

RN 344576-96-1 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)- (9CI) (CA INDEX NAME)

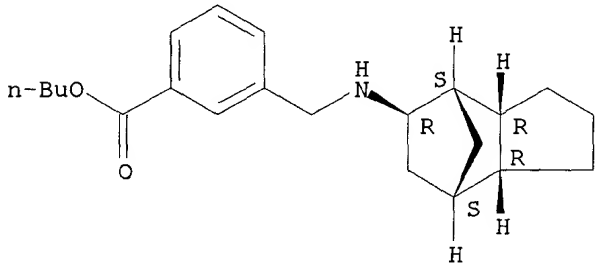
Absolute stereochemistry. Rotation (-).




● HCl

IT **344577-00-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted norbornylamino derivs. for use as a antihypertensive agent or a diagnostic reagent)
RN 344577-00-0 CAPLUS
CN Benzoic acid, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]amino]methyl]-, butyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

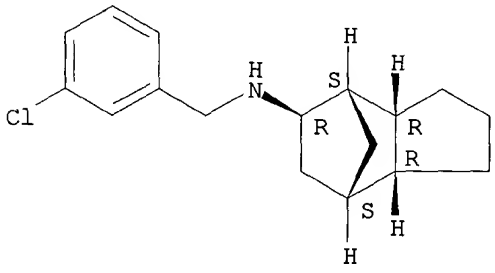



IT **344576-09-6P 344576-12-1P 344576-16-5P**
**344576-17-6P 344576-18-7P 344576-19-8P**
**344576-20-1P 344576-21-2P 344576-22-3P**
**344576-23-4P 344576-24-5P 344576-25-6P**
**344576-26-7P 344576-27-8P 344576-28-9P**
**344576-30-3P 344576-32-5P 344576-34-7P**
**344576-35-8P 344576-37-0P 344576-38-1P**
**344576-40-5P 344576-41-6P 344576-42-7P**

**344576-43-8P 344576-47-2P 344576-48-3P**
**344576-49-4P 344576-50-7P 344576-51-8P**
**344576-52-9P 344576-53-0P 344576-54-1P**
**344576-56-3P 344576-57-4P 344576-59-6P**
**344576-60-9P 344576-62-1P 344576-63-2P**
**344576-64-3P 344576-65-4P 344576-66-5P**
**344576-67-6P 344576-68-7P 344576-69-8P**
**344576-70-1P 344576-71-2P 344576-72-3P**
**344576-73-4P 344576-74-5P 344576-75-6P**
**344576-76-7P 344576-77-8P 344576-78-9P**
**344576-80-3P 344576-82-5P 344576-83-6P**
**344576-84-7P 344576-85-8P 344576-86-9P**
**344576-87-0P 344576-88-1P 344577-06-6P**
**344577-07-7P 344577-08-8P 344577-09-9P**
**344577-10-2P 344577-11-3P 344577-12-4P**
**344577-13-5P 344577-15-7P 344577-16-8P**
**344577-17-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted norbornylamino derivs. for use as a antihypertensive agent or a diagnostic reagent)

RN 344576-09-6 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-chlorophenyl)methyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

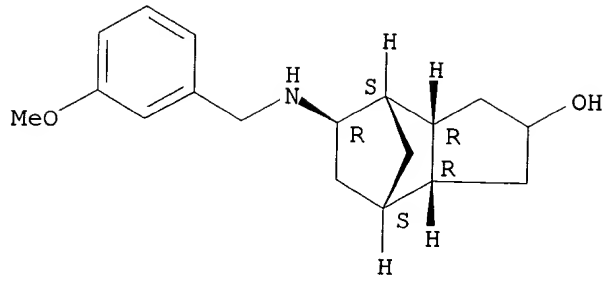
Relative stereochemistry.




● HCl

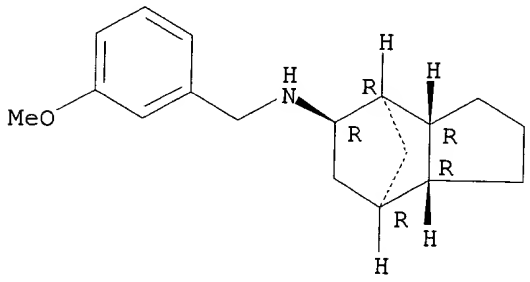
RN 344576-12-1 CAPLUS
CN 4,7-Methano-1H-inden-2-ol, octahydro-5-[[(3-methoxyphenyl)methyl]amino]-, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 344576-16-5 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-, hydrochloride, (3aR,4R,5R,7R,7aR)-rel- (9CI) (CA INDEX NAME)

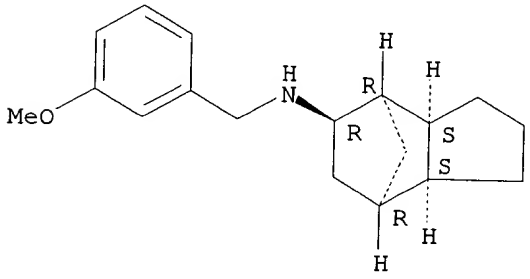
Relative stereochemistry.



● HCl

RN 344576-17-6 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-, hydrochloride, (3aR,4S,5S,7S,7aR)-rel- (9CI) (CA INDEX NAME)

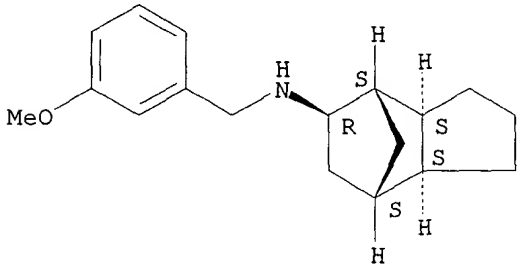
Relative stereochemistry.



HCl

RN 344576-18-7 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-, hydrochloride, (3aR,4R,5S,7R,7aR)-rel- (9CI) (CA INDEX NAME)

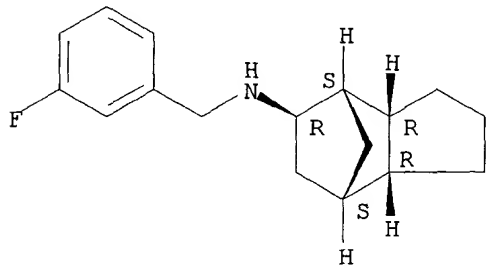
Relative stereochemistry.



● HCl

RN 344576-19-8 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-fluorophenyl)methyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

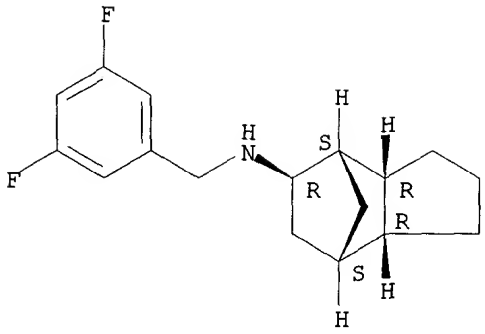
Relative stereochemistry.



● HCl

RN 344576-20-1 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3,5-difluorophenyl)methyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

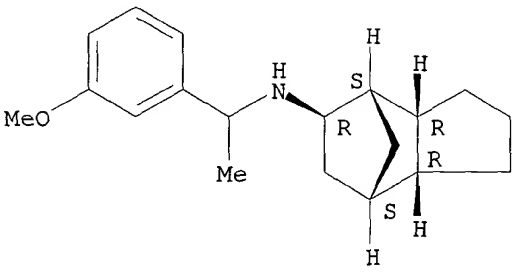
Relative stereochemistry.



● HCl

RN 344576-21-2 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[1-(3-methoxyphenyl)ethyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

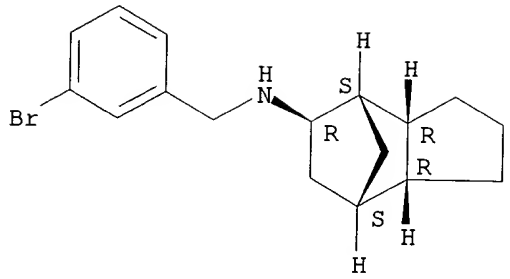
Relative stereochemistry.



● HCl

RN 344576-22-3 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-bromophenyl)methyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

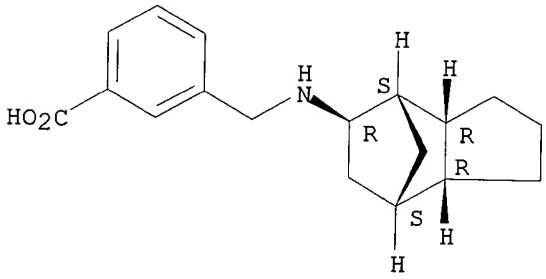
Relative stereochemistry.



● HCl

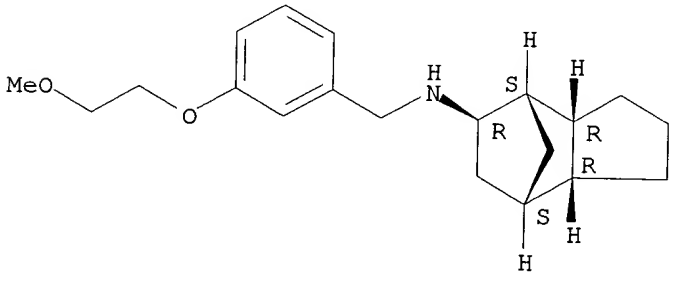
RN 344576-23-4 CAPLUS
CN Benzoic acid, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]amino]methyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 344576-24-5 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[3-(2-methoxyethoxy)phenyl]methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

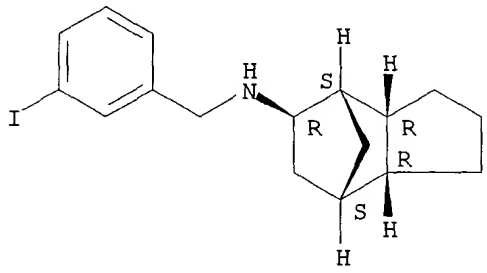
Relative stereochemistry.



HCl

RN 344576-25-6 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-iodophenyl)methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

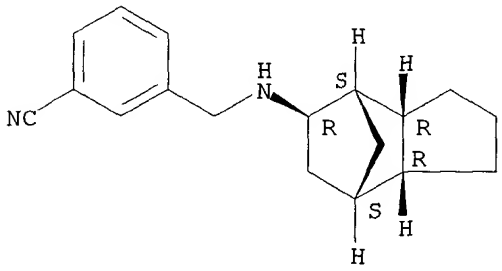
Relative stereochemistry.



● HCl

RN 344576-26-7 CAPLUS
CN Benzonitrile, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]amino]methyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

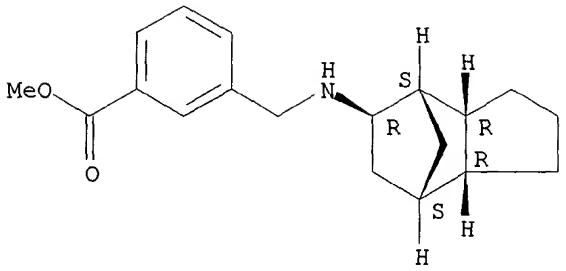
Relative stereochemistry.



● HCl

RN 344576-27-8 CAPLUS
CN Benzoic acid, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]amino]methyl]-, methyl ester, hydrochloride, rel- (9CI) (CA INDEX NAME)

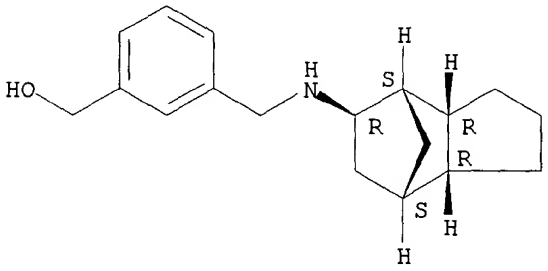
Relative stereochemistry.



● HCl

RN 344576-28-9 CAPLUS
CN Benzenemethanol,
3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-
yl]amino]methyl]-, hydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



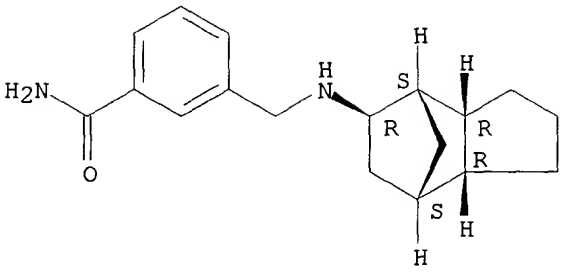
● HCl

RN 344576-30-3 CAPLUS
CN Benzamide, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-
yl]amino]methyl]-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

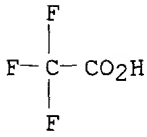
CRN 344576-29-0
CMF C18 H24 N2 O

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

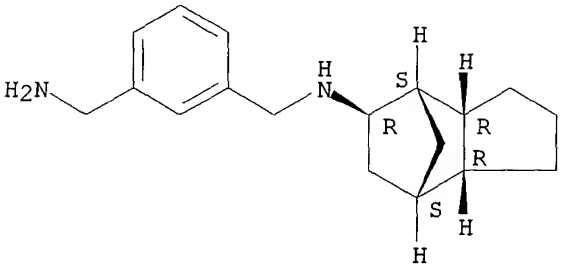


RN 344576-32-5 CAPLUS
CN 1,3-Benzenedimethanamine, N-[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]-, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

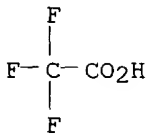
CRN 344576-31-4
CMF C18 H26 N2

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

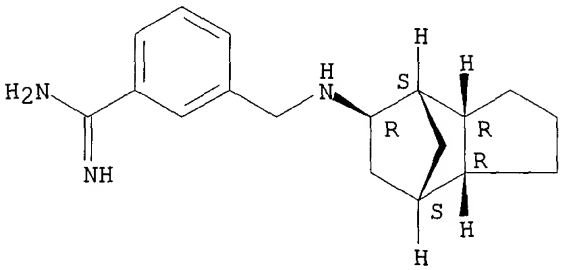


RN 344576-34-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]amino]methyl]-, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

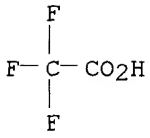
CRN 344576-33-6
CMF C18 H25 N3

Relative stereochemistry.



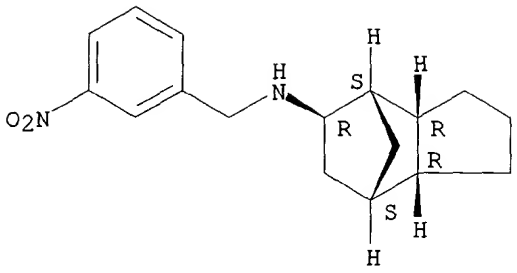
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 344576-35-8 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-nitrophenyl)methyl]-, monohydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



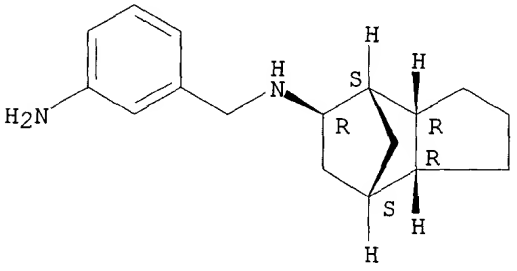
● HCl

RN 344576-37-0 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-aminophenyl)methyl]octahydro-, (3aR,4S,5R,7S,7aR)-rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

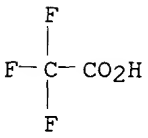
CRN 344576-36-9
CMF C17 H24 N2

Relative stereochemistry.



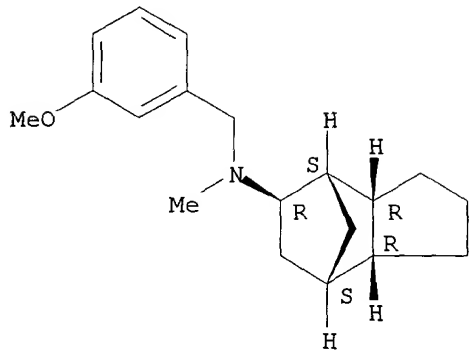
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 344576-38-1 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-N-methyl-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



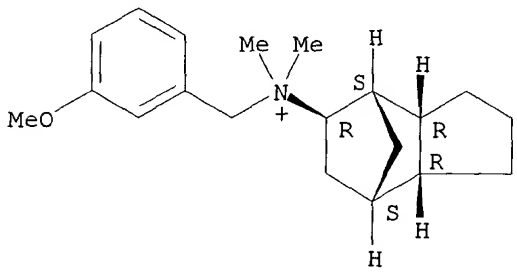

● HCl

RN 344576-40-5 CAPLUS
CN 4,7-Methano-1H-inden-5-aminium, octahydro-N-[(3-methoxyphenyl)methyl]-N,N-dimethyl-, (3aR,4S,5R,7S,7aR)-rel-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

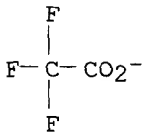
CRN 344576-39-2
CMF C20 H30 N O

Relative stereochemistry.



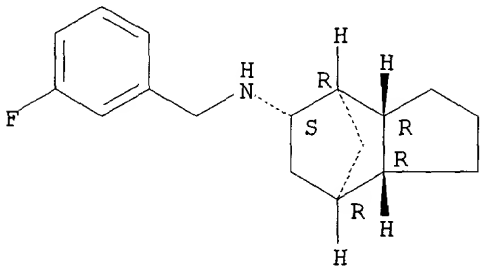

CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 344576-41-6 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-fluorophenyl)methyl]octahydro-, hydrochloride, (3aR,4R,5S,7R,7aR)-rel- (9CI) (CA INDEX NAME)

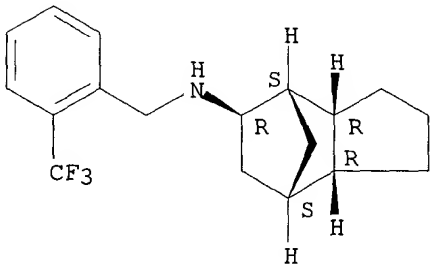
Relative stereochemistry.



● HCl

RN 344576-42-7 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[2-(trifluoromethyl)phenyl]methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

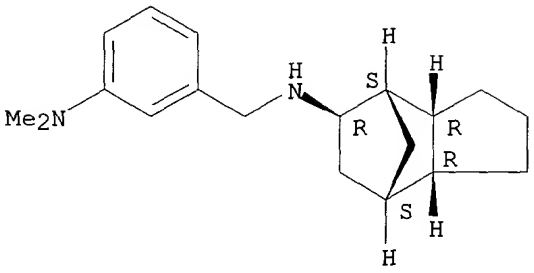
Relative stereochemistry.



● HCl

RN 344576-43-8 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[[3-(dimethylamino)phenyl]methyl]octahydro-, monohydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

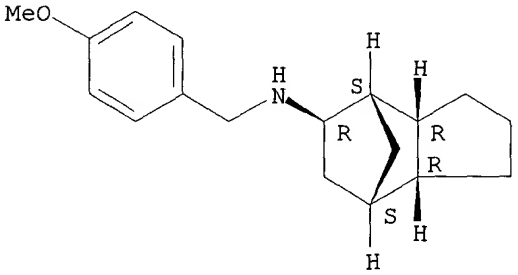
Relative stereochemistry.




● HCl

RN 344576-47-2 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(4-methoxyphenyl)methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

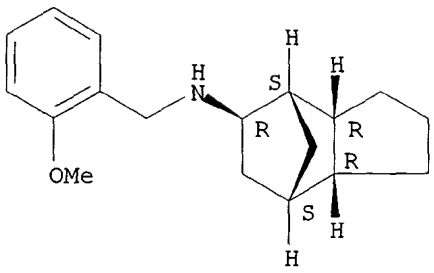
Relative stereochemistry.




● HCl

RN 344576-48-3 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(2-methoxyphenyl)methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

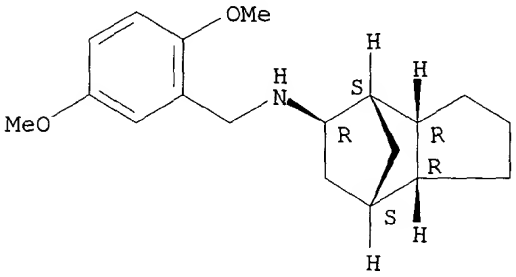
Relative stereochemistry.




● HCl

RN 344576-49-4 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(2,5-dimethoxyphenyl)methyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

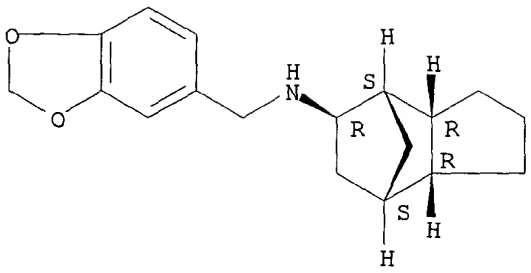
Relative stereochemistry.




● HCl

RN 344576-50-7 CAPLUS
CN 1,3-Benzodioxole-5-methanamine, N-[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]-, hydrochloride, rel- (9CI) (CA INDEX NAME)

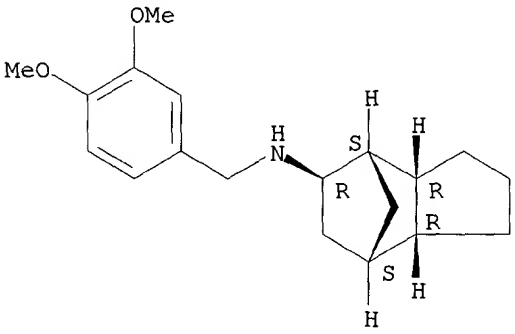
Relative stereochemistry.



● HCl

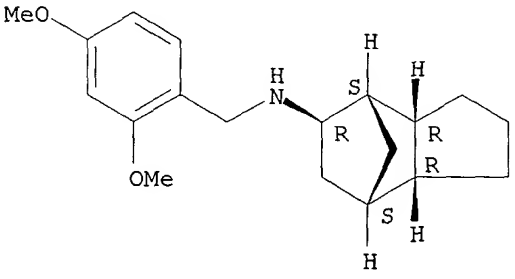
RN 344576-51-8 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3,4-dimethoxyphenyl)methyl]octahydro-, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

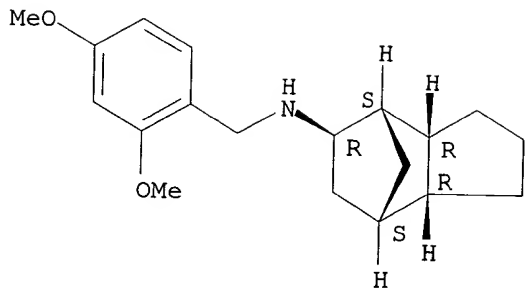


RN 344576-52-9 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(2,4-dimethoxyphenyl)methyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



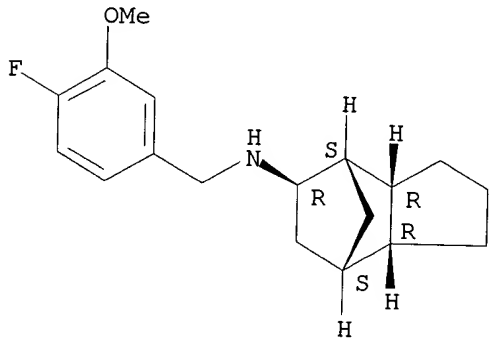
HCl




● HCl

RN 344576-53-0 CAPLUS
CN 4,7-Methano-1H-inden-5-amine,
N-[(4-fluoro-3-methoxyphenyl)methyl]octahydr
o-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

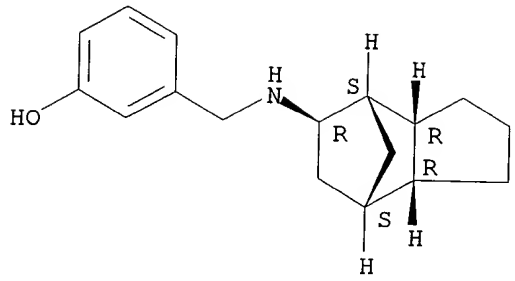
Relative stereochemistry.




● HCl

RN 344576-54-1 CAPLUS
CN Phenol, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-
yl]amino]methyl]-, hydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



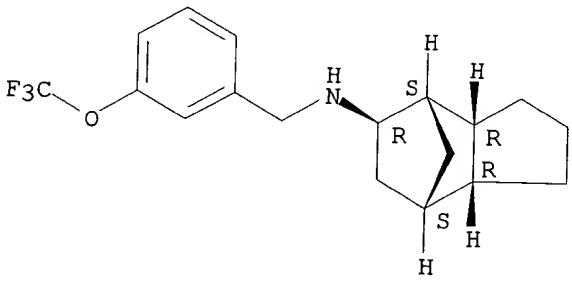
● HCl

RN 344576-56-3 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (3aR,4S,5R,7S,7aR)-rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

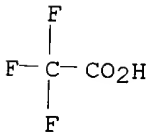
CRN 344576-55-2
CMF C18 H22 F3 N O

Relative stereochemistry.



CM 2

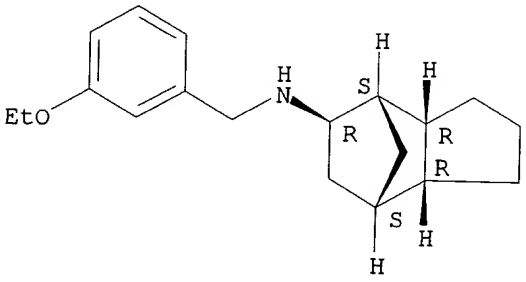
CRN 76-05-1
CMF C2 H F3 O2



RN 344576-57-4 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-ethoxyphenyl)methyl]octahydro-,

hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



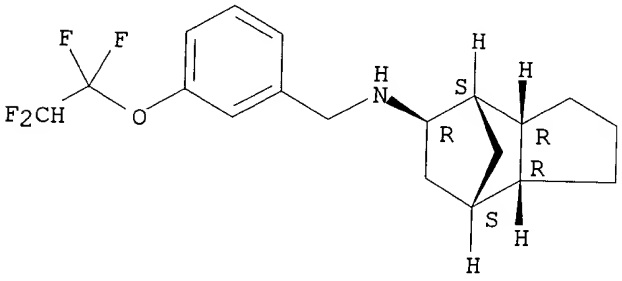

● HCl

RN 344576-59-6 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, (3aR,4S,5R,7S,7aR)-rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

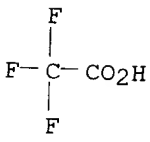
CRN 344576-58-5
CMF C19 H23 F4 N O

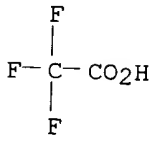
Relative stereochemistry.




CM 2

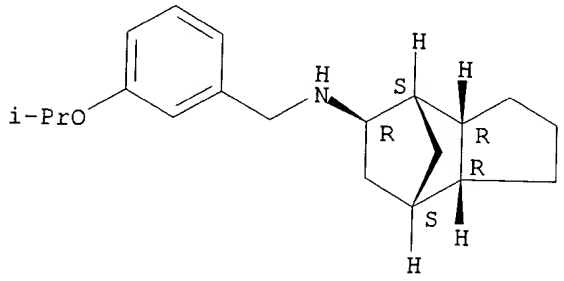
CRN 76-05-1
CMF C2 H F3 O2





RN 344576-60-9 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[3-(1-methylethoxy)phenyl]methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.



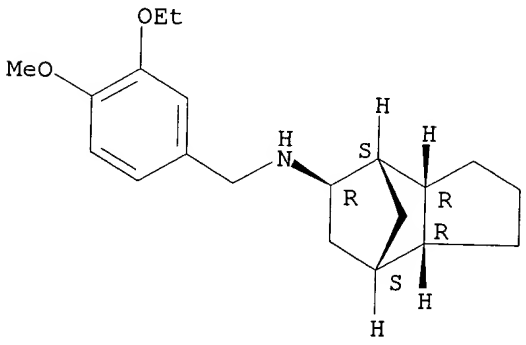
● HCl

RN 344576-62-1 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-ethoxy-4-methoxyphenyl)methyl]octahydr o-, (3aR,4S,5R,7S,7aR)-rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

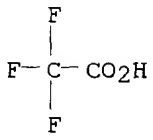
CRN 344576-61-0
CMF C20 H29 N O2

Relative stereochemistry.



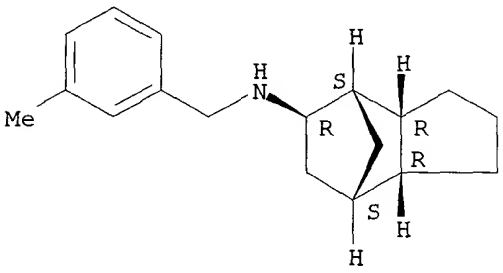
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 344576-63-2 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methylphenyl)methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

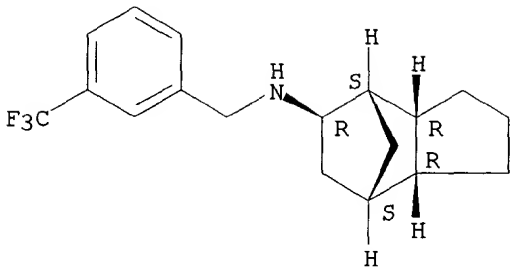
Relative stereochemistry.



● HCl

RN 344576-64-3 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

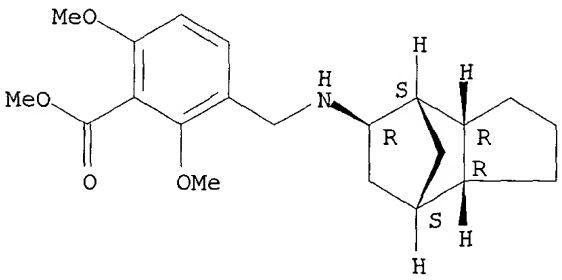
Relative stereochemistry.



● HCl

RN 344576-65-4 CAPLUS
CN Benzoic acid,
2,6-dimethoxy-3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-
1H-inden-5-yl]amino]methyl]-, methyl ester, hydrochloride, rel- (9CI)
(CA
INDEX NAME)

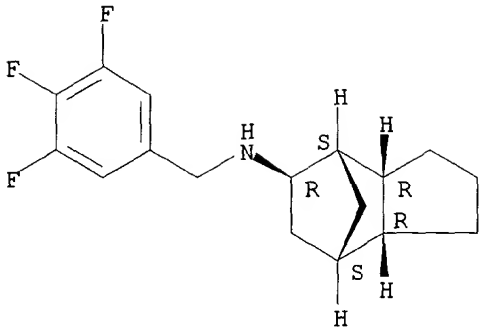
Relative stereochemistry.



● HCl

RN 344576-66-5 CAPLUS
CN 4,7-Methano-1H-inden-5-amine,
octahydro-N-[(3,4,5-trifluorophenyl)methyl]-
, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

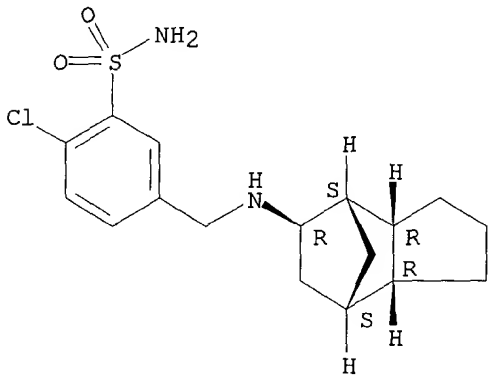
Relative stereochemistry.



● HCl

RN 344576-67-6 CAPLUS
CN Benzenesulfonamide,
2-chloro-5-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-
1H-inden-5-yl]amino]methyl]-, monohydrochloride, rel- (9CI) (CA INDEX
NAME)

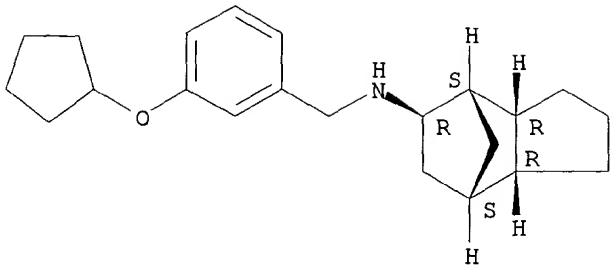
Relative stereochemistry.



● HCl

RN 344576-68-7 CAPLUS
CN 4,7-Methano-1H-inden-5-amine,
N-[[3-(cyclopentyloxy)phenyl]methyl]octahydr
o-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

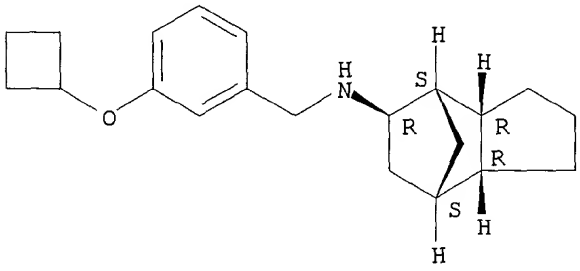
Relative stereochemistry.



● HCl

RN 344576-69-8 CAPLUS
CN 4,7-Methano-1H-inden-5-amine,
N-[[3-(cyclobutyloxy)phenyl]methyl]octahydro-
, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

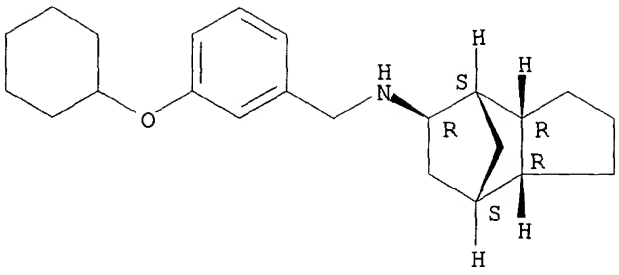
Relative stereochemistry.



● HCl

RN 344576-70-1 CAPLUS
CN 4,7-Methano-1H-inden-5-amine,
N-[[3-(cyclohexyloxy)phenyl]methyl]octahydro-
, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

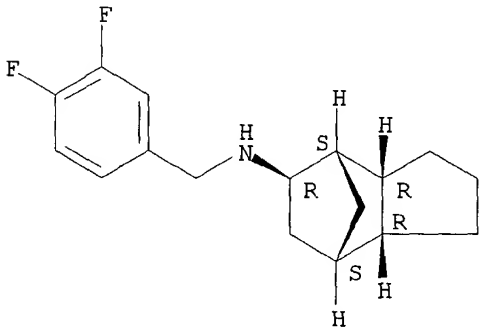
Relative stereochemistry.



● HCl

RN 344576-71-2 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3,4-difluorophenyl)methyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

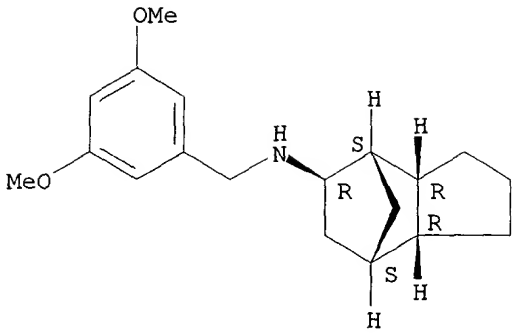
Relative stereochemistry.



● HCl

RN 344576-72-3 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3,5-dimethoxyphenyl)methyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

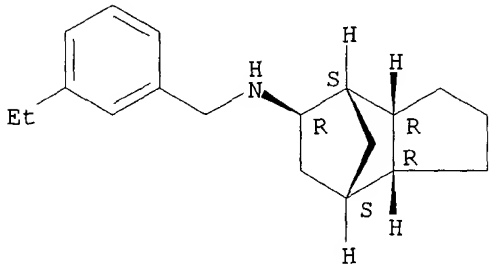
Relative stereochemistry.




● HCl

RN 344576-73-4 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-ethylphenyl)methyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

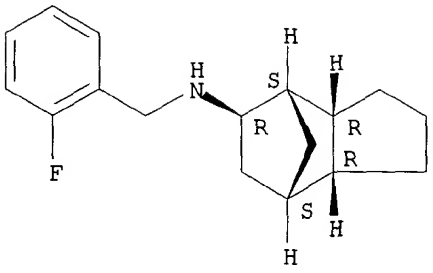
Relative stereochemistry.




● HCl

RN 344576-74-5 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(2-fluorophenyl)methyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

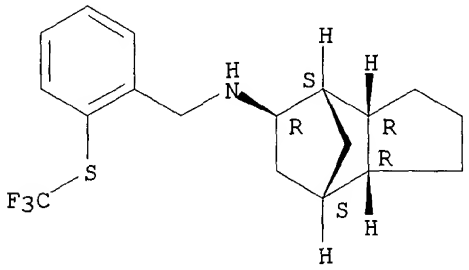
Relative stereochemistry.



● HCl

RN 344576-75-6 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[2-[(trifluoromethyl)thio]phenyl]methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

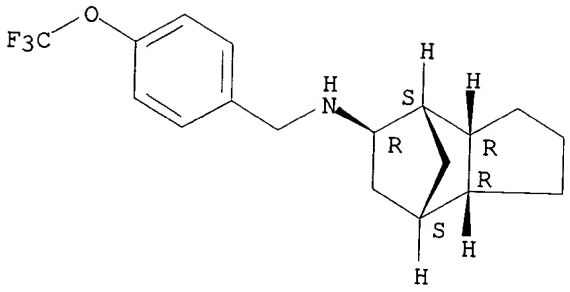
Relative stereochemistry.



● HCl

RN 344576-76-7 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[4-(trifluoromethoxy)phenyl]methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

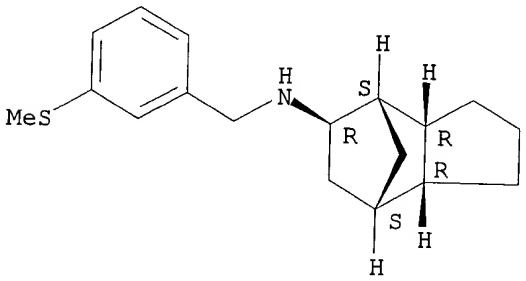
Relative stereochemistry.



● HCl

RN 344576-77-8 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[3-(methylthio)phenyl]methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

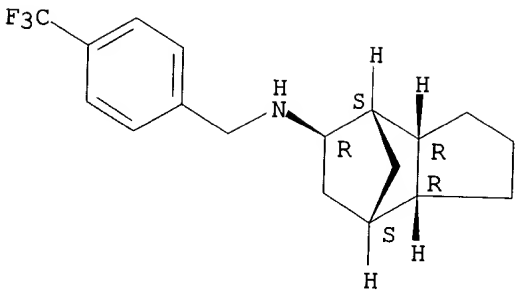
Relative stereochemistry.



● HCl

RN 344576-78-9 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[4-(trifluoromethyl)phenyl]methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



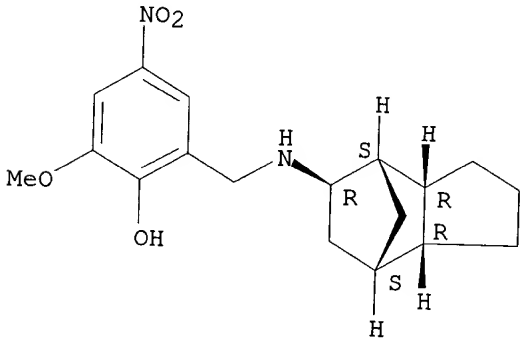
● HCl

RN 344576-80-3 CAPLUS
CN Phenol,
2-methoxy-4-nitro-6-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]amino]methyl]-, rel-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

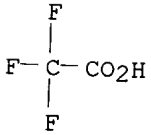
CRN 344576-79-0
CMF C18 H24 N2 O4

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

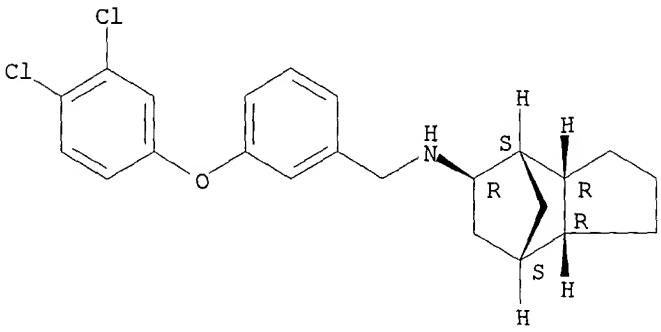


RN 344576-82-5 CAPLUS
CN 4,7-Methano-1H-inden-5-amine,
N-[[3-(3,4-dichlorophenoxy)phenyl]methyl]oct
ahydro-, (3aR,4S,5R,7S,7aR)-rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

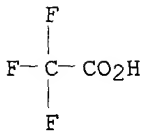
CRN 344576-81-4
CMF C23 H25 Cl2 N O

Relative stereochemistry.



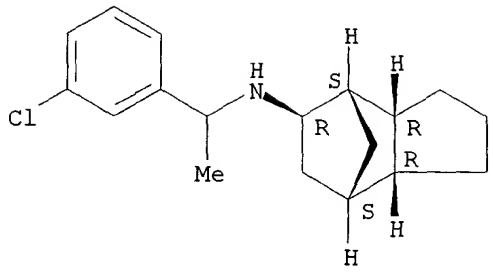
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 344576-83-6 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[1-(3-chlorophenyl)ethyl]octahydro-,
hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

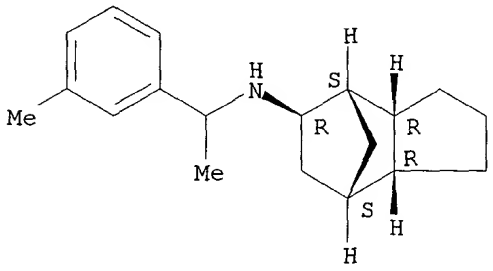
Relative stereochemistry.




● HCl

RN 344576-84-7 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[1-(3-methylphenyl)ethyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

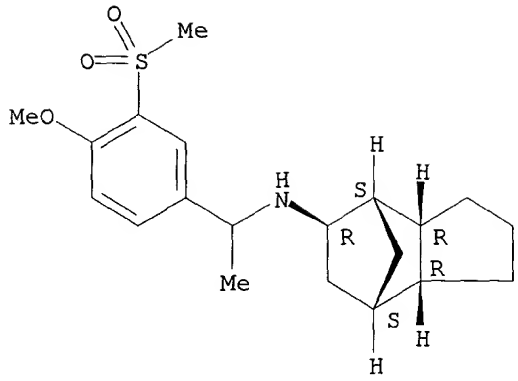
Relative stereochemistry.




● HCl

RN 344576-85-8 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[1-[4-methoxy-3-(methylsulfonyl)phenyl]ethyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

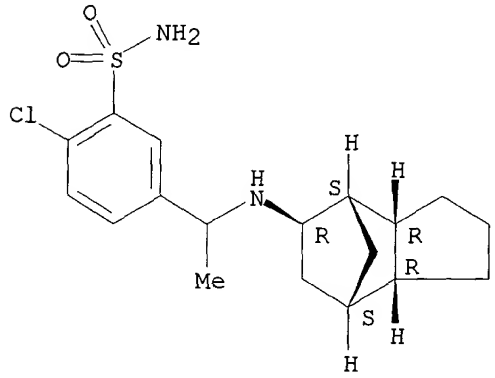
Relative stereochemistry.



● HCl

RN 344576-86-9 CAPLUS
CN Benzenesulfonamide, 2-chloro-5-[1-[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]amino]ethyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

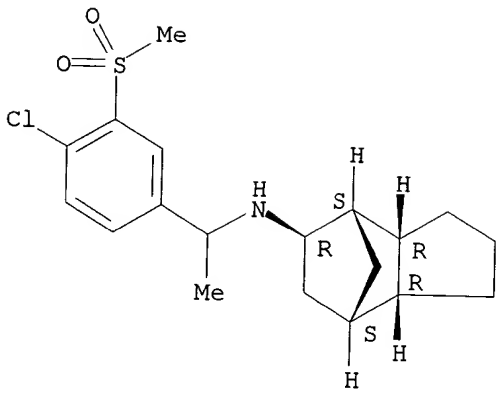
Relative stereochemistry.



● HCl

RN 344576-87-0 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[1-[4-chloro-3-(methylsulfonyl)phenyl]ethyl]octahydro-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

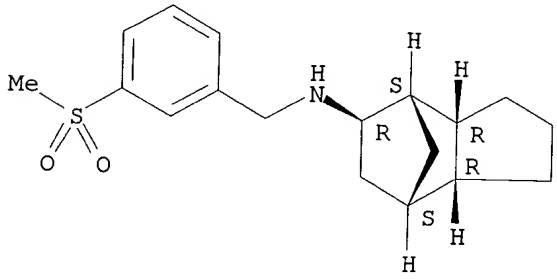
Relative stereochemistry.



● HCl

RN 344576-88-1 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[[3-(methylsulfonyl)phenyl]methyl]-, hydrochloride, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

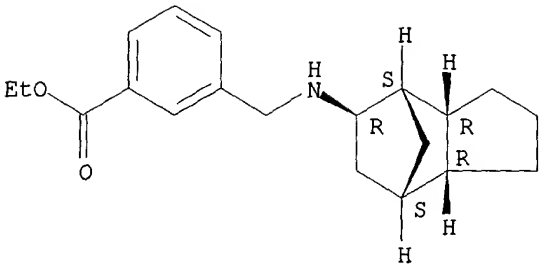
Relative stereochemistry.



● HCl

RN 344577-06-6 CAPLUS
CN Benzoic acid, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]amino]methyl]-, ethyl ester, hydrochloride, rel- (9CI) (CA INDEX NAME)

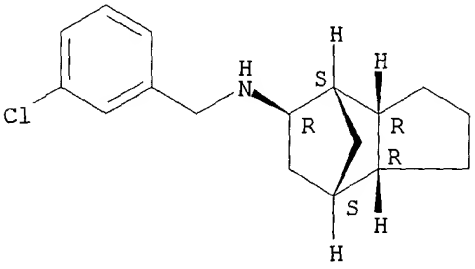
Relative stereochemistry.



● HCl

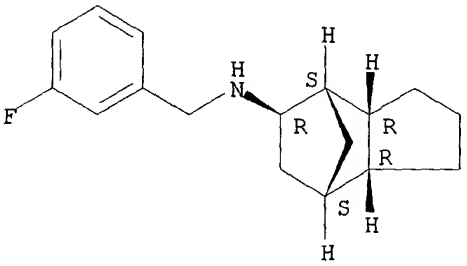
RN 344577-07-7 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-chlorophenyl)methyl]octahydro-, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



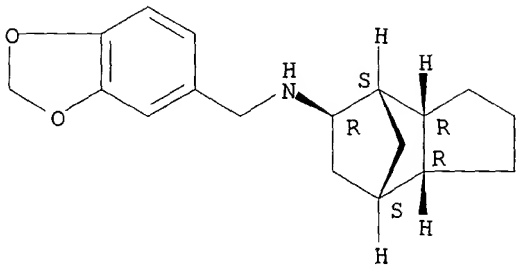
RN 344577-08-8 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-fluorophenyl)methyl]octahydro-, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



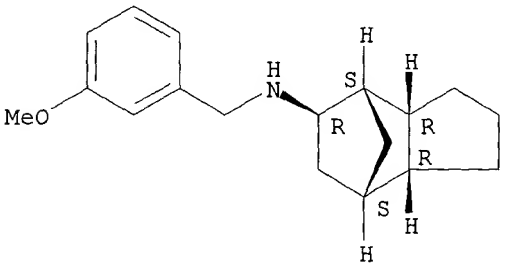
RN 344577-09-9 CAPLUS
CN 1,3-Benzodioxole-5-methanamine, N-[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



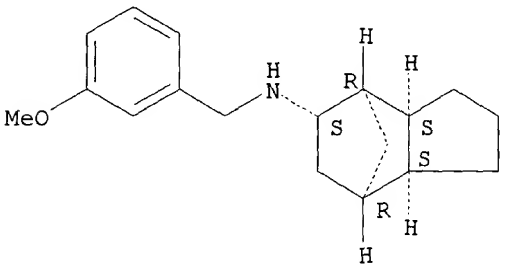
RN 344577-10-2 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



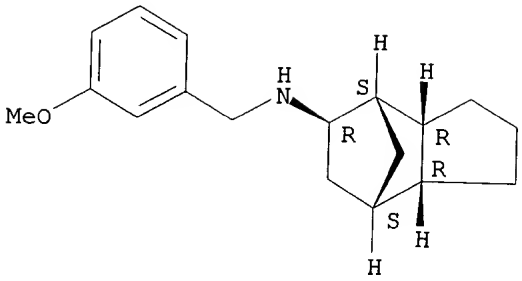
RN 344577-11-3 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-, (3aS,4R,5S,7R,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



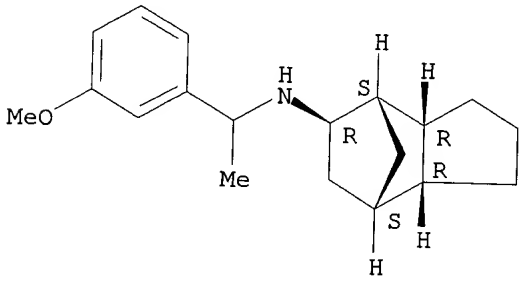
RN 344577-12-4 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-, (3aR,4S,5R,7S,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



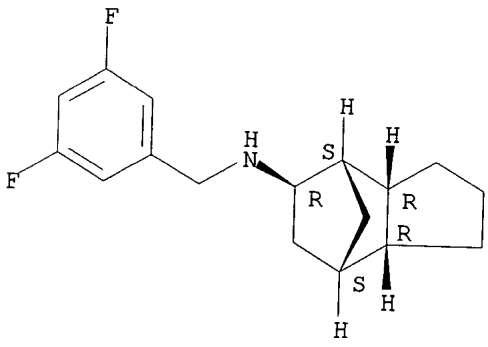
RN 344577-13-5 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[1-(3-methoxyphenyl)ethyl]-, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



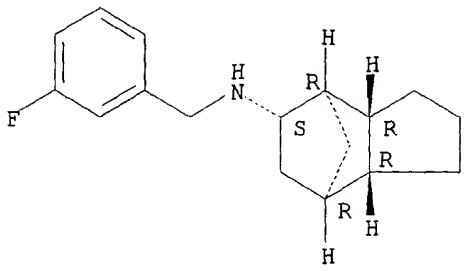
RN 344577-15-7 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3,5-difluorophenyl)methyl]octahydro-, (3aR,4S,5R,7S,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



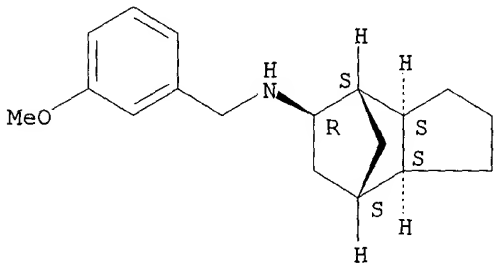
RN 344577-16-8 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(3-fluorophenyl)methyl]octahydro-, (3aR,4R,5S,7R,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



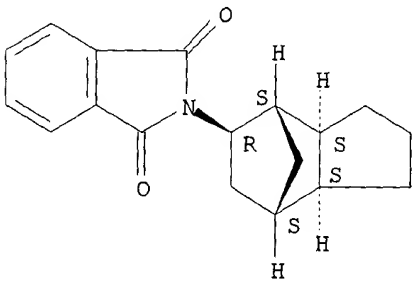
RN 344577-17-9 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3-methoxyphenyl)methyl]-, (3aR,4R,5S,7R,7aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **344576-93-8P 344577-01-1P 344577-19-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted norbornylamino derivs. for use as a antihypertensive agent or a diagnostic reagent)
RN 344576-93-8 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(3aR,4R,5S,7R,7aR)-octahydro-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

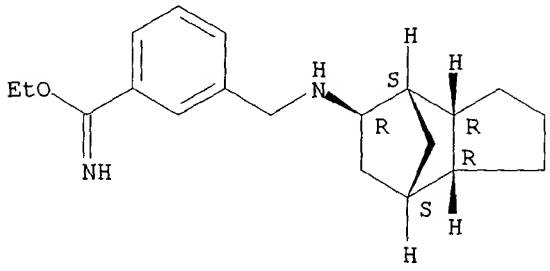
Relative stereochemistry.



RN 344577-01-1 CAPLUS
CN Benzenecarboximidic acid, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-

inden-5-yl]amino]methyl]-, ethyl ester, dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



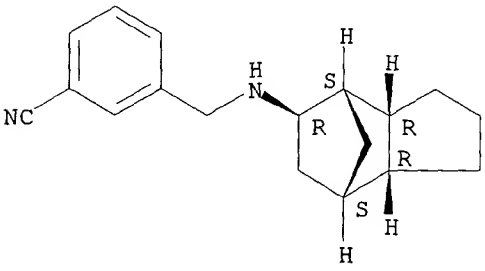
●2 HCl

RN 344577-19-1 CAPLUS
CN Benzonitrile, 3-[[[(3aR,4S,5R,7S,7aR)-octahydro-4,7-methano-1H-inden-5-yl]amino]methyl]-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

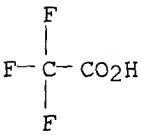
CRN 344577-18-0
CMF C18 H22 N2

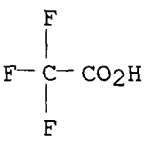
Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2





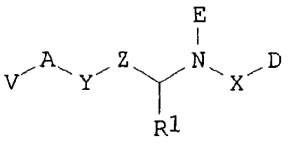
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:824211 CAPLUS
DOCUMENT NUMBER: 134:4764
TITLE: Preparation of 3-(benzoylamino)propionic acid derivatives as glucagon antagonists/inverse agonists
INVENTOR(S): Ling, Anthony; Plewe, Michael Bruno; Truesdale, Larry Kenneth; Lau, Jesper; Madsen, Peter; Sams, Christian; Behrens, Carsten; Vagner, Josef; Christensen, Inge Thoger; Lundt, Behrend Frederik; Sidelmann, Ulla Grove; Thogersen, Henning
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 564 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000069810 | A1 | 20001123 | WO 2000-DK264 | 20000516 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1183229 | A1 | 20020306 | EP 2000-926725 | 20000516 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 2000010651 | A | 20020319 | BR 2000-10651 | 20000516 |
| NO 2001005607 | A | 20020117 | NO 2001-5607 | 20011116 |

PRIORITY APPLN. INFO.: DK 1999-684 A 19990517
DK 2000-478 A 20000321
WO 2000-DK264 W 20000516

OTHER SOURCE(S): MARPAT 134:4764
GI



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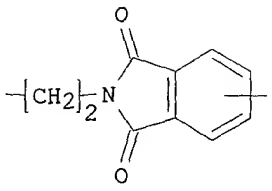
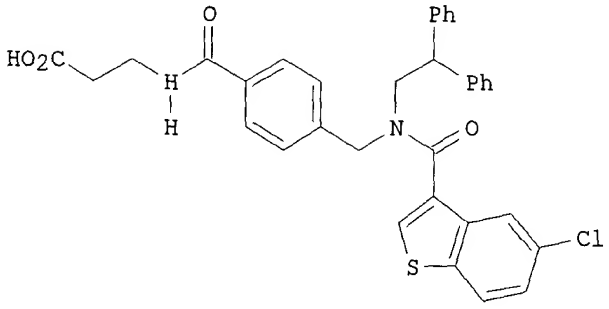


II



III

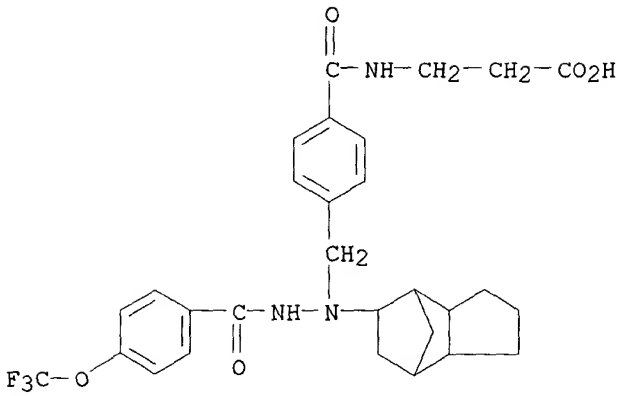
AB The title compds. [I; V = CO2R2, CONR2R3, CONR2OR3, etc. (wherein R2, R3 = H, alkyl); A = (CH2)n(CR8R9)bNR7, (CR8R9)b(CH2)nNR7, (CR8R9)b(CH2)n, etc. (b = 0-1; n = 0-3; R7 = H, alkyl, (cycloalkyl)alkyl; R8, R9 = H, alkyl); Y = CO, SO2, O, a bond; Z = (un)substituted phenylene, divalent radical derived from 5-6 membered heteroarom. ring contg. 1-2 heteroatoms selected from N, O and S; or AYZ together = II; R1 = H, alkyl; X = CO(CR13R14)r(CH2)s, SO2(CR13R14)r(CH2)s, CO2(CR13R14)r(CH2)s, etc. (r = 0-1; s = 0-3; R13, R14 = H, alkyl); D = (un)substituted Ph, pyridyl, cyclopropyl, etc.; E = (un)substituted quinolinyl, 2,5-dioxopiperidinyl, biphenylalkyl, etc.] which act to antagonize the action of the glucagon hormone on the glucagon receptor (data given), and therefore may be suitable for the treatment and/or prevention of any glucagon-mediated conditions and diseases such as hyperglycemia, Type 1 diabetes, Type 2 diabetes and obesity, were prepd. and formulated. E.g., a multi-step solid phase synthesis of III was given. Compds. I are effective at 0.05-10 mg/kg/day.

IT **307986-79-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-(benzoylamino)propionic acid derivs. as glucagon antagonists/inverse agonists)

RN 307986-79-4 CAPLUS

CN Benzoic acid, 4-(trifluoromethoxy)-, 2-[[4-[[(2-carboxyethyl)amino]carbonyl]phenyl]methyl]-2-(octahydro-4,7-methano-1H-inden-5-yl)hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:811714 CAPLUS
DOCUMENT NUMBER: 130:138974

TITLE: Unusual photochemical behavior of 5-amino-endo-dicyclopentadien-3-ones

AUTHOR(S): Bakkeren, Frank J. A. D.; Schroer, Frank; De Gelder, Rend; Klunder, Antonius J. H.; Zwanenburg, Binne

CORPORATE SOURCE: Departments of Organic Chemistry and Inorganic Chemistry, NSR Center for Molecular Structure, Design and Synthesis, University of Nijmegen, Nijmegen, 6525 ED, Neth.

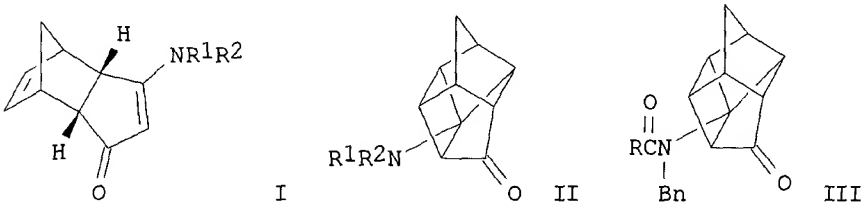
SOURCE: Tetrahedron Letters (1998), 39(51), 9527-9530
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

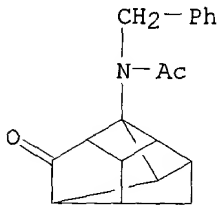


AB Irradn. of 5-amino-substituted endo-tricyclo[5.2.1.06]deca-4,8-dien-3-ones [I; R1,R2 given: H,cyclohexyl; H,benzyl (Bn); (R1R2)= morpholino] did not lead to the anticipated [.pi.2 + .pi.2]-photocyclization, thus not affording bridgehead 1,3-bishomocubyl amines (II; R1,R2 as above).

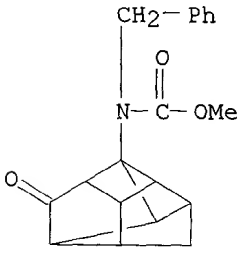
Instead, an unexpected photoredn. of the norbornene C8-C8 double bond was obsd., which based on d-labeling studies involves a photoelectron transfer process. The desired [.pi.2 + .pi.2]-photocyclization could be affected by N-acylation of the amino function in I (R1 = H, R2 = Bn) furnishing 4-amido-substituted 1,3-bishomocubanones (III; R = Me, MeO, Bn, OBn) .

IT **220006-06-4P 220006-07-5P 220006-08-6P 220006-09-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(photoreactions of amino-substituted endo tricyclodecadienones)

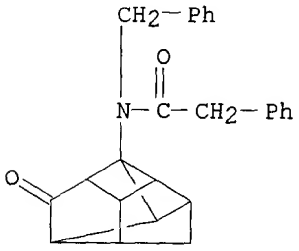
RN 220006-06-4 CAPLUS
CN Acetamide, N-(octahydro-5-oxo-1,2,4-metheno-1H-cyclobuta[cd]pentalen-1-yl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



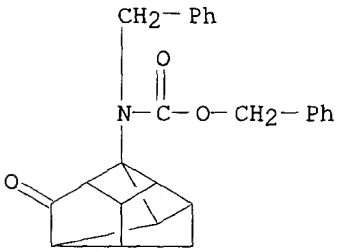
RN 220006-07-5 CAPLUS
CN Carbamic acid, (octahydro-5-oxo-1,2,4-metheno-1H-cyclobuta[cd]pentalen-1-yl)(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 220006-08-6 CAPLUS
CN Benzeneacetamide, N-(octahydro-5-oxo-1,2,4-metheno-1H-cyclobuta[cd]pentalen-1-yl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

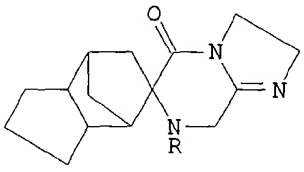


RN 220006-09-7 CAPLUS
CN Carbamic acid, (octahydro-5-oxo-1,2,4-metheno-1H-cyclobuta[cd]pentalen-1-yl)(phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:35854 CAPLUS
DOCUMENT NUMBER: 114:35854
TITLE: Synthesis of certain spirohexahydro-4,7-methanoindanpiperazine derivatives as analgesics
AUTHOR(S): Aboul-Enein, M. N.; Maklouf, A. M. A.; El-Azzouny, A. A.
CORPORATE SOURCE: Lab. Pharm. Sci., Natl. Res. Cent., Dokki, Egypt
SOURCE: Scientia Pharmaceutica (1990), 58(3), 263-71
CODEN: SCPHA4; ISSN: 0036-8709
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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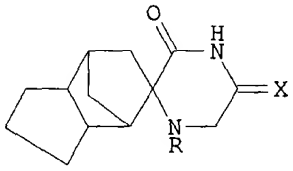


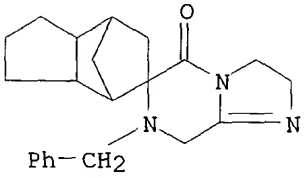
II, X=NH
III, X=O

AB Title compds. (I and II, R = Ph, 4-MeC6H4, 4-MeOC6H4, PhCH2), were prepd. from the corresponding aminohexahydro-4,7-methanoindan-5-carbonitriles by modified Strecker synthesis, acid hydrolysis, cyanomethylation and reaction with ethylenediamine hydrolysis and tested for their analgesic activity in a mouse hot-plate test. Compd. I (R = 4-MeOC6H4) exhibited the highest activity with an ED50 of 9.9 mg/kg compared to morphine-HCl with an ED50 of 7.3 mg/kg. Structure-activity relations for I and II are discussed.

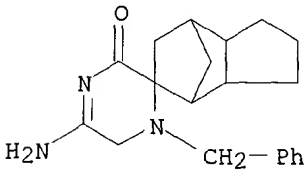
IT **131466-10-9P 131466-14-3P 131466-18-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and analgesic activity of, structure in relation to)

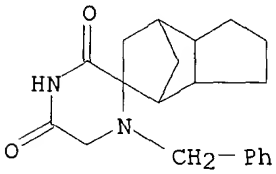
RN 131466-10-9 CAPLUS
CN Spiro[imidazo[1,2-a]pyrazine-6(5H),5'-[4,7]methano[5H]inden]-5-one, 1',2,2',3,3',3'a,4',6',7,7',7'a,8-dodecahydro-7-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 131466-14-3 CAPLUS
CN Spiro[4,7-methano-5H-indene-5,2'(3'H)-pyrazin]-3'-one, 5'-amino-1,1',2,3,3a,4,6,6',7,7a-decahydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)

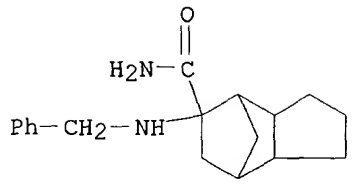


RN 131466-18-7 CAPLUS
CN Spiro[4,7-methano-5H-indene-5,2'-piperazine]-3',5'-dione, octahydro-1'-(phenylmethyl)- (9CI) (CA INDEX NAME)

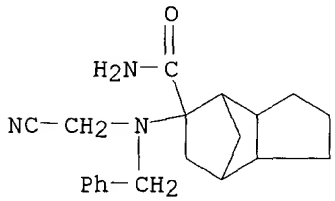


IT **131466-26-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyanomethylation of)

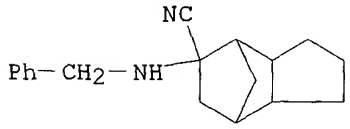
RN 131466-26-7 CAPLUS
CN 4,7-Methano-1H-indene-5-carboxamide, octahydro-5-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



IT **131466-30-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)
RN 131466-30-3 CAPLUS
CN 4,7-Methano-1H-indene-5-carboxamide, 5-[(cyanomethyl)(phenylmethyl)amino]o
ctahydro- (9CI) (CA INDEX NAME)

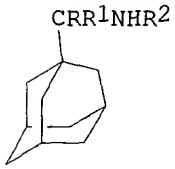


IT **131466-22-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of, carboxamide formation in)
RN 131466-22-3 CAPLUS
CN 4,7-Methano-1H-indene-5-carbonitrile, octahydro-5-[(phenylmethyl)amino]-
(9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:438842 CAPLUS
DOCUMENT NUMBER: 107:38842
TITLE: Electrochemical 1-adamantylation and tetrahydro-exo-dicyclopentadien-9-ylation of azomethines
AUTHOR(S): Hess, U.; Lieberenz, C.; Feuerherd, B.
CORPORATE SOURCE: Sekt. Chem., Humboldt-Univ. Berlin, Berlin, DDR-1040, Ger. Dem. Rep.
SOURCE: J. Prakt. Chem. (1986), 328(1), 7-20
CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 107:38842
GI

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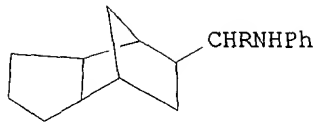


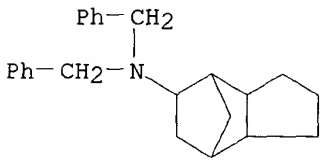

II

AB Azomethine adducts I (R = Ph, p-tolyl, 2-pyridyl, 2-quinolyl; R1 = H, Me; R2 = Me, Ph, arylalkyl) and II (R = Ph, p-anisyl) were prepd. by electrochem. reactions of azomethines with 1-bromoadamantane and 9-bromotetrahydro-exo-dicyclopentadiene. In the SET mechanism bridgehead radicals couple with azomethine anion radicals at the position of highest unpaired electron d., normally the C of the C:N group.

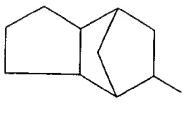
IT **89516-07-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 89516-07-4 CAPLUS

CN 4,7-Methano-1H-inden-5-amine, octahydro-N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)




L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1985:197548 CAPLUS
DOCUMENT NUMBER: 102:197548
TITLE: Synthesis and pharmacological activity of derivatives of exo-trimethylenenorbornane. V
AUTHOR(S): Longobardi, M.; Schenone, P.; Bargagna, A.; Matera, C.; Rossi, F.; Marmo, E.
CORPORATE SOURCE: Ist. Sci. Farm., Univ. Genova, Genoa, Italy
SOURCE: Farmaco, Ed. Sci. (1985), 40(3), 162-9
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

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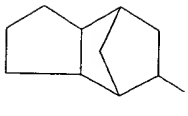



II

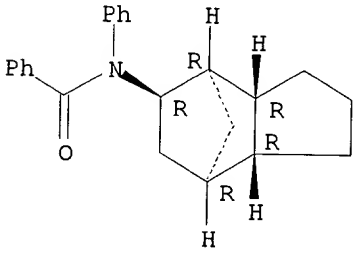
AB Seven amides I (R = CH2Cl, Me, cyclopropyl, CH:CHPh, Ph, 4-NO2C6H4, and 4-H2NC6H4) and 6 glycinamides II (R = NEt2, NMe(CH2)2NMe2, pyrrolidino, piperidino, morpholino, N'-methylpiperazino) derived from N-phenyl-exo-5,6-trimethylenenorbornan-2-endo-amine [96356-45-5] were prepd. and tested for pharmacol. activity. All of the I derivs. showed moderate hypotensive activity whereas some of the I and II derivs. had weak local anesthetic and antiarrhythmic activity. The effects of the compds. on heart rate are also described.

IT **96356-34-2P 96356-36-4P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. and pharmacol. of)

RN 96356-34-2 CAPLUS

CN Benzamide, N-(octahydro-4,7-methano-1H-inden-5-yl)-N-phenyl-, (3a.alpha.,4.beta.,5.alpha.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

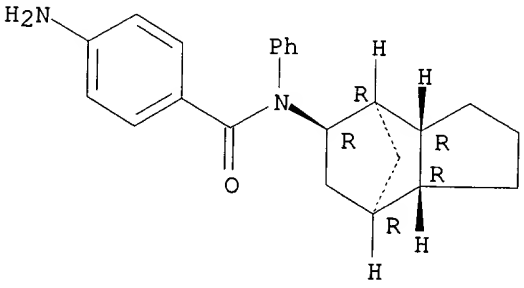
Relative stereochemistry.




RN 96356-36-4 CAPLUS

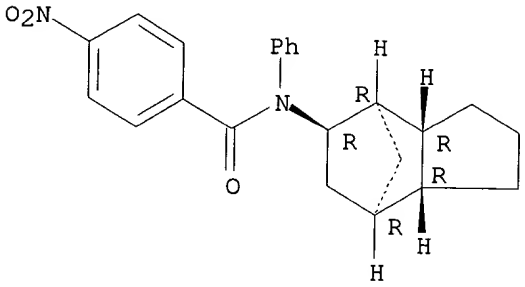
CN Benzamide, 4-amino-N-(octahydro-4,7-methano-1H-inden-5-yl)-N-phenyl-, (3a.alpha.,4.beta.,5.alpha.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **96356-35-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of)
RN 96356-35-3 CAPLUS
CN Benzamide, 4-nitro-N-(octahydro-4,7-methano-1H-inden-5-yl)-N-phenyl-, (3a.alpha.,4.beta.,5.alpha.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

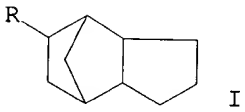
Relative stereochemistry.




L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1984:156514 CAPLUS
DOCUMENT NUMBER: 100:156514
TITLE: 9(10)-Substituted 9,10-dihydro- and tetrahydro-exo-dicyclopentadienes
INVENTOR(S): Hess, Ulrich; Feuerherd, Bernd
PATENT ASSIGNEE(S): Humboldt-Universitaet zu Berlin, Ger. Dem. Rep.
SOURCE: Ger. (East), 11 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DD 202863 | A1 | 19831005 | DD 1981-235451 | 19811205 |

GI

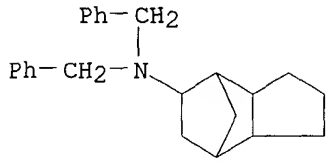



I

AB The dicyclopentadienes I (R = N heterocyclic, aminomethyl, tertiary amino) and their 1,2- and 2,3-didehydro derivs. were prepd. Thus, I (R = Br) was electrolyzed with quinoline to give 36% I (R = 2-quinolyl).

IT **89516-07-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)

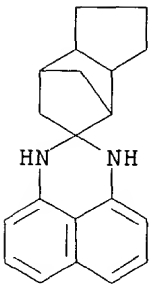
(prepn. of)
RN 89516-07-4 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)



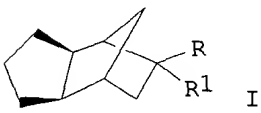
L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:525424 CAPLUS
DOCUMENT NUMBER: 99:125424
TITLE: 2,3-Dihydroperimidines as antioxidants for lubricants
INVENTOR(S): Malherbe, Roger F.
PATENT ASSIGNEE(S): Ciba-Geigy Corp. , USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4389321 | A | 19830621 | US 1981-334860 | 19811228 |
| EP 83311 | A2 | 19830706 | EP 1982-810562 | 19821222 |
| EP 83311 | A3 | 19840725 | | |
| EP 83311 | B1 | 19860813 | | |
| R: DE, FR, GB, IT | | | | |
| JP 58118896 | A2 | 19830715 | JP 1982-234946 | 19821228 |

PRIORITY APPLN. INFO.: US 1981-334860 19811228
AB Derivs. of 2,3-dihydroperimidine show very high oxidn. stabilizer activity
and good soly. in mineral and synthetic lubricating oils. Thus, when 0.25
wt.% 2,3-dihydroperimidine-2-spiro (4-tert-amylcyclohexane [87103-31-9]
(prepd. by reacting 1,8-diaminonaphthalene with 4-tert-amylcyclohexanone
in toluene under reflux) was added to a mineral oil, it gave 660 mm oxidn.
time in the Rotary Bomb Oxidn. test vs. 114 min when a com. additive was used.
IT **87103-35-3P**
RL: PREP (Preparation)
(prepn. of, for use as lubricating oil antioxidant)
RN 87103-35-3 CAPLUS
CN Spiro[4,7-methano-5H-indene-5,2'(3'H)-[1H]perimidine], 1,2,3,3a,4,6,7,7a-octahydro- (9CI) (CA INDEX NAME)




L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:163608 CAPLUS
DOCUMENT NUMBER: 92:163608
TITLE: Synthesis and pharmacological activity of derivatives of exo-trimethylenenorbornane. I
AUTHOR(S): Bondavalli, F.; Lanteri, S.; Longobardi, M.; Schenone, P.
CORPORATE SOURCE: Ist. Sci. Farm., Univ. Genova, Genoa, Italy
SOURCE: Farmaco, Ed. Sci. (1979), 34(11), 945-51
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



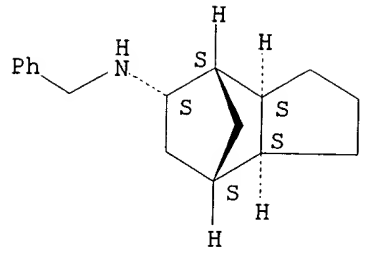
I

AB LiAlH4 redn. of oxime I (RR1 = NOH) gave endo-amine I (R = H, R1 = NH2), which was acylated with ClCOR2 (R2 = Me, Ph, C6H4NO2-p, C6H2(OMe)3, CHPh2, cyclopropyl) to give I (R = H, R1 = NHCOR2), whose redn. gave amines I (R = H, R1 = NHCH2R2). I (R = H; R1 = NHAc, NHCOC6H4NH2-4, cyclopropylcarboxamido) had weak depressant activity and I (R = H, R1 = cycloprpylmethylamino) was a convulsant.

IT **73336-03-5P 73336-04-6P 73336-05-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and pharmacol. activities of)

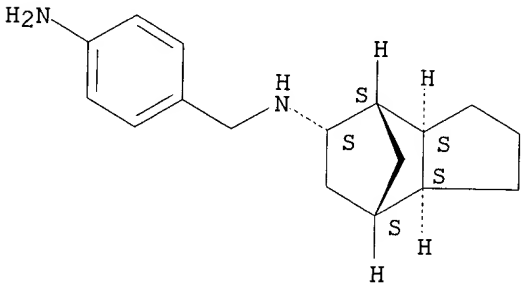
RN 73336-03-5 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-(phenylmethyl)-, (3a.alpha.,4.beta.,5.alpha.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 73336-04-6 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, N-[(4-aminophenyl)methyl]octahydro-, dihydrochloride, (3a.alpha.,4.beta.,5.alpha.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

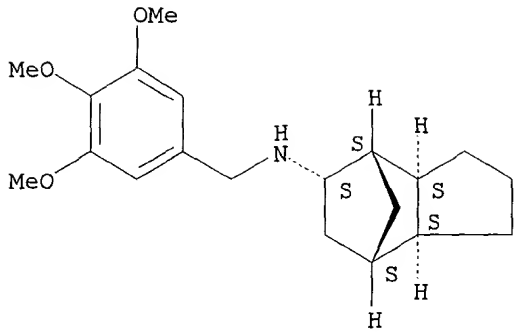
Relative stereochemistry.



●2 HCl

RN 73336-05-7 CAPLUS
CN 4,7-Methano-1H-inden-5-amine, octahydro-N-[(3,4,5-trimethoxyphenyl)methyl]-, hydrochloride, (3a.alpha.,4.beta.,5.alpha.,7.beta.,7a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

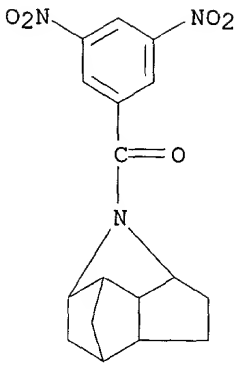



● HCl

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:501484 CAPLUS
DOCUMENT NUMBER: 87:101484
TITLE: NMR investigation of dicyclopentadiene derivatives. III. Stereochemical classification of bridged endo-dicyclopentadiene derivatives and their Wagner-Meerwein rearrangement products by NMR spectroscopy
AUTHOR(S): Kleinpeter, E.; Kuehn, H.; Muehlstaedt, M.
CORPORATE SOURCE: Sekt. Chem., Karl Marx Univ., Leipzig, E. Ger.
SOURCE: Org. Magn. Reson. (1977), 9(2), 90-7
CODEN: ORMRBD
DOCUMENT TYPE: Journal
LANGUAGE: German

AB The 1H and 13C NMR spectra of 18 oxa- and azatetracycloundecanes are reported. The 1H NMR spectra are analyzed using spin decoupling and lanthanide paramagnetic shift expts. The 13C resonances were assigned using known substituent effects and paramagnetic shift values. The detd. paramagnetic shift parameters are discussed with respect to their contact and pseudocontact contributions.

IT **63974-53-8**
RL: PRP (Properties)
(carbon-13 and proton NMR of)
RN 63974-53-8 CAPLUS
CN 2,4-Methanopentaleno[1,6-bc]pyrrole, 1-(3,5-dinitrobenzoyl)decahydro- (9CI) (CA INDEX NAME)



=>

---Logging off of STN---

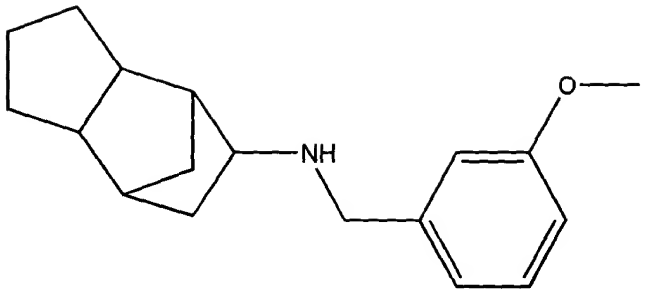
=>
Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 49.47 | 195.09 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -6.82 | -7.41 |

STN INTERNATIONAL LOGOFF AT 08:27:50 ON 17 OCT 2002




exo/endo-(3-methoxybenzyl)(octahydro-4,7-methanoinden-5-yl)amine

Caution: Stereochemical terms discarded: exo, endo